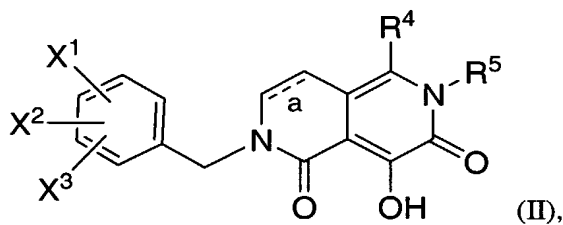


WHAT IS CLAIMED IS:

1. A compound of Formula II, or a pharmaceutically acceptable salt thereof:



5 wherein:

bond "  $\overset{a}{=}$  " in the ring is a single bond or a double bond;

X<sup>1</sup> and X<sup>2</sup> are each independently:

- |    |  |
|----|--|
| 10 | (1) -H,  |
|    | (2) -C <sub>1-6</sub> alkyl,   |
|    | (3) -OH  |
|    | (4) -O-C <sub>1-6</sub> alkyl,   |
|    | (5) -C <sub>1-6</sub> haloalkyl,   |
| 15 | (6) -O-C <sub>1-6</sub> haloalkyl,   |
|    | (7) halogen,   |
|    | (8) -CN,   |
|    | (9) -N(R <sup>a</sup> )R <sup>b</sup> ,                                    |
|    | (10) -C(=O)N(R <sup>a</sup> )R <sup>b</sup> ,                              |
| 20 | (11) -SR <sup>a</sup> ,  |
|    | (12) -S(O)R <sup>a</sup> ,   |
|    | (13) SO <sub>2</sub> R <sup>a</sup> ,                                      |
|    | (14) -N(R <sup>a</sup> )SO <sub>2</sub> R <sup>b</sup> ,                   |
|    | (15) -N(R <sup>a</sup> )SO <sub>2</sub> N(R <sup>a</sup> )R <sup>b</sup> , |
| 25 | (16) -N(R <sup>a</sup> )C(=O)R <sup>b</sup> ,                              |
|    | (17) -N(R <sup>a</sup> )C(=O)-C(=O)N(R <sup>a</sup> )R <sup>b</sup> ,      |
|    | (18) -HetA,  |
|    | (19) -C(=O)-HetA, or   |
|    | (20) HetB;   |

wherein each HetA is independently a C<sub>4-5</sub> azacycloalkyl or a C<sub>3-4</sub> diazacycloalkyl, either of which is optionally substituted with 1 or 2 substituents each of which is independently oxo or C<sub>1-6</sub> alkyl; and with the proviso that when HetA is attached to the rest of the compound via the -C(=O)- moiety, the HetA is attached to the

5

-C(=O)- via a ring N atom; and

each HetB is independently a 5- or 6-membered heteroaromatic ring containing from 1 to 4 heteroatoms independently selected from N, O and S, wherein the heteroaromatic ring is optionally substituted with from 1 to 4 substituents each of which is independently halogen, -C<sub>1-6</sub> alkyl, -C<sub>1-6</sub> haloalkyl, -O-C<sub>1-6</sub> alkyl, -O-C<sub>1-6</sub>

10

haloalkyl, or hydroxy;

or alternatively X<sup>1</sup> and X<sup>2</sup> are respectively located on adjacent carbons in the phenyl ring and together form methylenedioxy or ethylenedioxy;

15 X<sup>3</sup> is:

- (1) -H,
- (2) -C<sub>1-6</sub> alkyl,
- (3) -O-C<sub>1-6</sub> alkyl,
- (4) -C<sub>1-6</sub> haloalkyl,
- (5) -O-C<sub>1-6</sub> haloalkyl, or
- (6) halogen;

20

R<sup>4</sup> is:

- (1) -C<sub>1-6</sub> alkyl,
- (2) -CO<sub>2</sub>R<sup>a</sup>,
- (3) -C(=O)N(R<sup>a</sup>)R<sup>b</sup>,
- (4) -C(=O)-N(R<sup>a</sup>)-(CH<sub>2</sub>)<sub>2-3</sub>-OR<sup>b</sup>,
- (5) -N(R<sup>a</sup>)C(=O)R<sup>b</sup>,
- (6) -N(R<sup>a</sup>)SO<sub>2</sub>R<sup>b</sup>,
- (7) -C<sub>3-6</sub> cycloalkyl, which is optionally substituted with from 1 to 4 substituents each of which is independently halogen, -C<sub>1-6</sub> alkyl, -CF<sub>3</sub>, -O-C<sub>1-6</sub> alkyl, or -OCF<sub>3</sub>,
- (8) -HetK,
- (9) -C(=O)-HetK,
- (10) -C(=O)N(R<sup>a</sup>)-HetK,

25

30

- (11)  $-C(=O)N(R^a)-(CH_2)_{0-2}-(C_{3-6} \text{ cycloalkyl})$ , wherein the cycloalkyl is optionally substituted with from 1 to 4 substituents each of which is independently halogen,  $-C_{1-6}$  alkyl,  $-CF_3$ ,  $-O-C_{1-6}$  alkyl, or  $-OCF_3$ , or
- (12)  $-C(=O)N(R^a)-CH_2\text{-phenyl}$ , wherein the phenyl is optionally substituted with from 1 to 4 substituents each of which is independently  $-C_{1-6}$  alkyl,  $-O-C_{1-6}$  alkyl,  $-CF_3$ ,  $-OCF_3$ , or halogen;
- (13)  $-\text{HetL}$ ,
- (14)  $-C(=O)N(R^a)R^c$ , or
- (15) halogen;

wherein HetK is a 5- or 6-membered saturated heterocyclic ring containing a total of from 1 to 4 heteroatoms independently selected from 1 to 4 N atoms, from 0 to 2 O atoms, and from 0 to 2 S atoms, wherein the heterocyclic ring is optionally substituted with (i) from 1 to 4 substituents each of which is independently  $-C_{1-6}$  alkyl, oxo, halogen,  $-C(=O)N(R^a)R^b$ ,  $-C(=O)C(=O)N(R^a)R^b$ ,  $-C(=O)R^a$ ,  $-CO_2R^a$ ,  $-SO_2R^a$ , or  $-SO_2N(R^a)R^b$  and (ii) from zero to 1  $C_{3-6}$  cycloalkyl; and with the proviso that when HetK is attached to the rest of the compound via the  $-C(=O)-$  moiety, the HetK is attached to the  $-C(=O)-$  via a ring N atom;

wherein HetL is a 5- or 6-membered heteroaromatic ring containing from 1 to 4 heteroatoms independently selected from N, O and S, wherein the heteroaromatic ring is optionally substituted with from 1 to 4 substituents each of which is independently  $-C_{1-6}$  alkyl or  $-OH$ ;

$R^5$  is:

- (1)  $-H$ ,
- (2)  $-C_{1-6}$  alkyl,
- (3)  $-C_{3-6}$  cycloalkyl,
- (4)  $-(CH_2)_{1-2}-C_{3-6}$  cycloalkyl,
- (5)  $-CH_2\text{-phenyl}$  wherein the phenyl is optionally substituted with from 1 to 4 substituents each of which is independently halogen,  $C_{1-6}$  alkyl,  $C_{1-6}$  haloalkyl,  $-O-C_{1-6}$  alkyl, or  $-O-C_{1-6}$  haloalkyl,
- (6)  $-(CH_2)_{1-2}\text{-HetD}$ , wherein HetD is a 4- to 7-membered saturated heterocyclic ring containing from 1 to 2 heteroatoms independently selected from 1 to 2 N atoms, from zero to 1 O atom and from zero to 1 S atom, wherein the heterocyclic ring is attached to the rest of the molecule via a ring N atom, and the heterocyclic ring is optionally

substituted with from 1 to 4 substituents each of which is independently -C<sub>1-6</sub> alkyl, -C<sub>1-6</sub> haloalkyl, -O-C<sub>1-6</sub> alkyl, -O-C<sub>1-6</sub> haloalkyl, oxo, -C(=O)N(R<sup>a</sup>)R<sup>b</sup>, -C(=O)R<sup>a</sup>, -CO<sub>2</sub>R<sup>a</sup>, -SO<sub>2</sub>R<sup>a</sup>, or -SO<sub>2</sub>N(R<sup>a</sup>)R<sup>b</sup>,

(7) phenyl which is optionally substituted with from 1 to 4 substituents each of which is independently -C<sub>1-6</sub> alkyl, -O-C<sub>1-6</sub> alkyl, -C<sub>1-6</sub> haloalkyl, -O-C<sub>1-6</sub> haloalkyl, -OH, halogen, -CN, -NO<sub>2</sub>, -C(=O)R<sup>a</sup>, -CO<sub>2</sub>R<sup>a</sup>, -SO<sub>2</sub>R<sup>a</sup>, -N(R<sup>a</sup>)C(=O)-C<sub>1-6</sub> haloalkyl, -N(R<sup>a</sup>)C(=O)R<sup>b</sup>, -N(R<sup>a</sup>)C(=O)N(R<sup>a</sup>)R<sup>b</sup>, -N(R<sup>a</sup>)CO<sub>2</sub>R<sup>b</sup>, -N(R<sup>a</sup>)SO<sub>2</sub>R<sup>b</sup>, -C(=O)N(R<sup>d</sup>)R<sup>e</sup>, or -SO<sub>2</sub>N(R<sup>d</sup>)R<sup>e</sup>;

(8) a 5- or 6-membered heteroaromatic ring containing from 1 to 4 heteroatoms independently selected from N, O and S, wherein the heteroaromatic ring is optionally substituted with from 1 to 4 substituents each of which is independently -C<sub>1-6</sub> alkyl, -C<sub>1-6</sub> haloalkyl, -O-C<sub>1-6</sub> alkyl, -O-C<sub>1-6</sub> haloalkyl, or -OH,

(9) C<sub>1-6</sub> alkyl substituted with -O-C<sub>1-6</sub> alkyl, -CN, -N(R<sup>a</sup>)R<sup>b</sup>, -C(=O)N(R<sup>a</sup>)R<sup>b</sup>, -C(=O)R<sup>a</sup>, -CO<sub>2</sub>R<sup>a</sup>, -SO<sub>2</sub>R<sup>a</sup>, or -SO<sub>2</sub>N(R<sup>a</sup>)R<sup>b</sup>, or

(10) -C<sub>1-6</sub> haloalkyl;

each R<sup>a</sup> is independently H or C<sub>1-6</sub> alkyl;

each R<sup>b</sup> is independently H or C<sub>1-6</sub> alkyl;

R<sup>c</sup> is C<sub>1-6</sub> haloalkyl or C<sub>1-6</sub> alkyl substituted with -CO<sub>2</sub>R<sup>a</sup>, -SO<sub>2</sub>R<sup>a</sup>, -SO<sub>2</sub>N(R<sup>a</sup>)R<sup>b</sup>, or N(R<sup>a</sup>)R<sup>b</sup>; and

each R<sup>d</sup> and R<sup>e</sup> are independently H or C<sub>1-6</sub> alkyl, or together with the N atom to which they are attached form a 4- to 7-membered saturated heterocyclic ring optionally containing a heteroatom in addition to the nitrogen attached to R<sup>d</sup> and R<sup>e</sup> selected from N, O, and S, wherein the S is optionally oxidized to S(O) or S(O)<sub>2</sub>, and wherein the saturated heterocyclic ring is optionally substituted with from 1 to 4 substituents each of which is independently halogen, -CN, -C<sub>1-6</sub> alkyl, -OH, oxo, -O-C<sub>1-6</sub> alkyl, -C<sub>1-6</sub> haloalkyl, -C(=O)R<sup>a</sup>, -CO<sub>2</sub>R<sup>a</sup>, -SO<sub>2</sub>R<sup>a</sup>, or -SO<sub>2</sub>N(R<sup>a</sup>)R<sup>b</sup>.

2. The compound according to claim 1, or a pharmaceutically acceptable salt thereof, wherein:

bond "  $\overset{a}{\equiv}$  " in the ring is a single bond;

X<sup>1</sup> and X<sup>2</sup> are each independently:

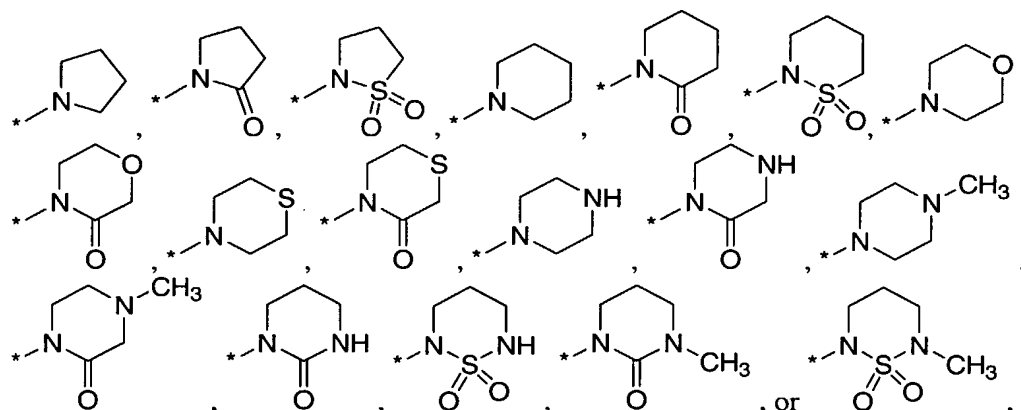
- (1) -H,
- (2) -C<sub>1-4</sub> alkyl,
- (3) -C<sub>1-4</sub> haloalkyl,
- 5 (4) -OH,
- (5) -O-C<sub>1-4</sub> alkyl,
- (6) halogen,
- (7) -CN,
- (8) -C(=O)NH<sub>2</sub>,
- 10 (9) -C(=O)NH(-C<sub>1-4</sub> alkyl),
- (10) -C(=O)N(-C<sub>1-4</sub> alkyl)<sub>2</sub>, or
- (11) -SO<sub>2</sub>-C<sub>1-4</sub> alkyl;

or alternatively X<sup>1</sup> and X<sup>2</sup> are respectively located on adjacent carbons in the phenyl ring and together  
15 form methylenedioxy or ethylenedioxy;

X<sup>3</sup> is -H, halogen, -C<sub>1-4</sub> alkyl, or -O-C<sub>1-4</sub> alkyl;

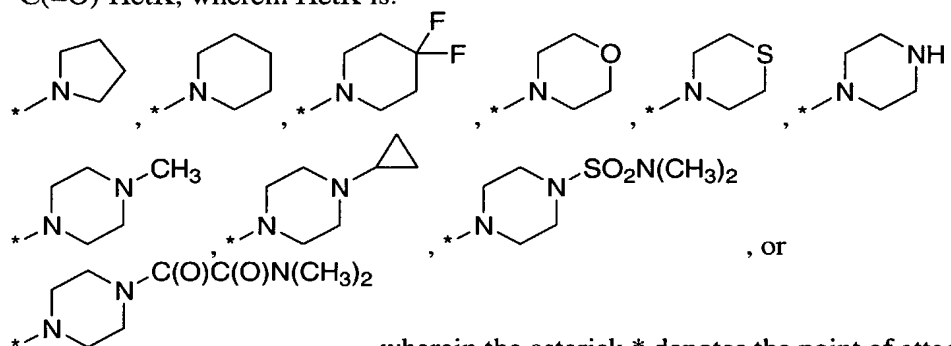
R<sup>4</sup> is:

- 20 (1) -C<sub>1-4</sub> alkyl,
- (2) -CO<sub>2</sub>H,
- (3) -C(=O)-O-C<sub>1-4</sub> alkyl,
- (4) -C(=O)NH<sub>2</sub>,
- (5) -C(=O)NH-C<sub>1-5</sub> alkyl,
- 25 (6) -C(=O)N(C<sub>1-4</sub> alkyl)<sub>2</sub>,
- (7) -C(=O)-NH-(CH<sub>2</sub>)<sub>2-3</sub>-O-C<sub>1-4</sub> alkyl,
- (8) -C(=O)-N(C<sub>1-4</sub> alkyl)-(CH<sub>2</sub>)<sub>2-3</sub>-O-C<sub>1-4</sub> alkyl,
- (9) -NHC(=O)-C<sub>1-4</sub> alkyl,
- (10) -N(C<sub>1-4</sub> alkyl)C(=O)-C<sub>1-4</sub> alkyl,
- 30 (11) -NHSO<sub>2</sub>-C<sub>1-4</sub> alkyl,
- (12) -N(C<sub>1-4</sub> alkyl)SO<sub>2</sub>-C<sub>1-4</sub> alkyl,
- (13) -C<sub>3-6</sub> cycloalkyl,
- (14) -HetK wherein HetK is:



wherein the asterisk \* denotes the point of attachment to the rest of the compound,

- 5 (15)  $-\text{C}(=\text{O})\text{-HetK}$ , wherein HetK is:



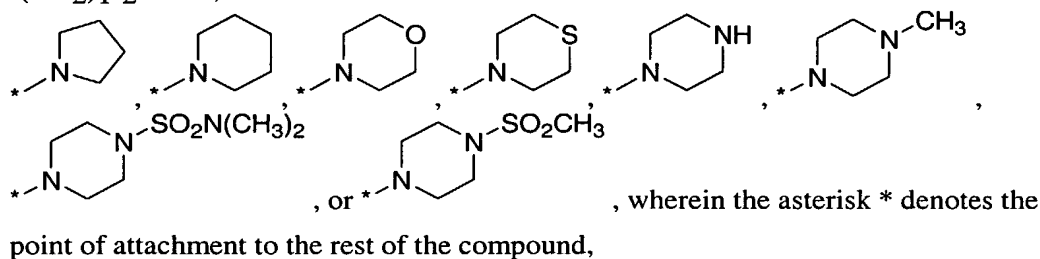
wherein the asterisk \* denotes the point of attachment to the rest of the compound,

- 10 (16)  $-\text{C}(=\text{O})\text{NH-HetK}$  or  $-\text{C}(=\text{O})\text{N}(\text{C}_{1-4} \text{ alkyl})\text{-HetK}$ , wherein HetK is a saturated heterocyclic selected from the group consisting of pyrrolidinyl, piperidinyl, piperazinyl, morpholinyl, and thiomorpholinyl, wherein the saturated heterocyclic is optionally substituted with from 1 to 2 substituents each of which is independently  $-\text{C}_{1-4} \text{ alkyl}$ ,  $\text{SO}_2\text{-C}_{1-4} \text{ alkyl}$ , or  $-\text{SO}_2\text{N}(\text{C}_{1-4} \text{ alkyl})_2$ ,
- 15 (17)  $-\text{C}(=\text{O})\text{NH}-(\text{CH}_2)_{0-1}-(\text{C}_{3-6} \text{ cycloalkyl})$ ,
- (18)  $-\text{C}(=\text{O})\text{N}(\text{C}_{1-4} \text{ alkyl})-(\text{CH}_2)_{0-1}-(\text{C}_{3-6} \text{ cycloalkyl})$ ,
- (19)  $-\text{C}(=\text{O})\text{NH-CH}_2\text{-phenyl}$ , wherein the phenyl is optionally substituted with 1 or 2 substituents each of which is independently halogen,  $-\text{C}_{1-4} \text{ alkyl}$ ,  $-\text{CF}_3$ ,  $-\text{O-C}_{1-4} \text{ alkyl}$ , or  $-\text{OCF}_3$ ,
- 20 (20)  $-\text{C}(=\text{O})\text{N}(\text{C}_{1-4} \text{ alkyl})\text{-CH}_2\text{-phenyl}$ , wherein the phenyl is optionally substituted with 1 or 2 substituents each of which is independently halogen,  $-\text{C}_{1-4} \text{ alkyl}$ ,  $-\text{CF}_3$ ,  $-\text{O-C}_{1-4} \text{ alkyl}$ , or  $-\text{OCF}_3$ ,

- (21) -HetL, wherein HetL is a heteroaromatic ring which is pyrrolyl, thienyl, furanyl, imidazolyl, oxazolyl, thiazolyl, isoxazolyl, isothiazolyl, pyrazolyl, triazolyl, tetrazolyl, oxadiazolyl, pyridinyl, pyrimidinyl, or pyrazinyl, wherein the heteroaromatic ring is optionally substituted with from 1 to 4 substituents each of which is independently halogen or -C<sub>1-4</sub> alkyl,
- (22) -C(O)N(H)-C<sub>1-4</sub> haloalkyl,
- (23) -C(O)N(C<sub>1-4</sub> alkyl)-C<sub>1-4</sub> haloalkyl,
- (24) -C(O)N(H)-(CH<sub>2</sub>)<sub>1-2</sub>SO<sub>2</sub>-C<sub>1-4</sub> alkyl,
- (25) -C(O)N(C<sub>1-4</sub> alkyl)-(CH<sub>2</sub>)<sub>1-2</sub>SO<sub>2</sub>-C<sub>1-4</sub> alkyl,
- (26) -C(O)N(H)-(CH<sub>2</sub>)<sub>1-2</sub>N(C<sub>1-4</sub> alkyl)<sub>2</sub>,
- (27) -C(O)N(C<sub>1-4</sub> alkyl)-(CH<sub>2</sub>)<sub>1-2</sub>N(C<sub>1-4</sub> alkyl)<sub>2</sub>, or
- (28) -Cl or -Br; and

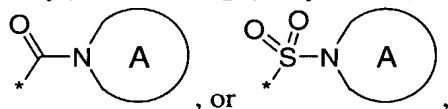
R<sup>5</sup> is:

- (1) -H,
- (2) -C<sub>1-4</sub> alkyl,
- (3) -C<sub>3-6</sub> cycloalkyl,
- (4) -CH<sub>2</sub>-C<sub>3-6</sub> cycloalkyl,
- (5) -CH<sub>2</sub>-phenyl, wherein the phenyl is optionally substituted with from 1 to 3 substituents each of which is independently halogen, -C<sub>1-4</sub> alkyl, -CF<sub>3</sub>, -O-C<sub>1-4</sub> alkyl, or -OCF<sub>3</sub>,
- (6) -(CH<sub>2</sub>)<sub>1-2</sub>-HetD, wherein HetD is:



- (7) phenyl which is optionally substituted with -C<sub>1-4</sub> alkyl, -O-C<sub>1-4</sub> alkyl, -CF<sub>3</sub>, -OCF<sub>3</sub>, halogen, -CN, -NO<sub>2</sub>, -C(=O)-C<sub>1-4</sub> alkyl, -C(=O)-O-C<sub>1-4</sub> alkyl, -C(O)NH<sub>2</sub>, -C(O)N(H)-C<sub>1-4</sub> alkyl, -C(O)N(C<sub>1-4</sub> alkyl)<sub>2</sub>, -SO<sub>2</sub>-C<sub>1-4</sub> alkyl, -SO<sub>2</sub>NH<sub>2</sub>, -SO<sub>2</sub>N(H)-C<sub>1-4</sub> alkyl, -SO<sub>2</sub>N(C<sub>1-4</sub> alkyl)<sub>2</sub>, -N(H)C(=O)-C<sub>1-4</sub> alkyl, -N(C<sub>1-4</sub> alkyl)C(=O)-C<sub>1-4</sub> alkyl, -N(H)C(=O)-CF<sub>3</sub>, -N(C<sub>1-4</sub> alkyl)C(=O)-CF<sub>3</sub>, -N(H)C(=O)N(H)C<sub>1-4</sub> alkyl, -N(C<sub>1-4</sub> alkyl)C(=O)N(H)C<sub>1-4</sub> alkyl, -N(H)C(=O)N(C<sub>1-4</sub> alkyl)<sub>2</sub>, -N(C<sub>1-4</sub> alkyl)C(=O)N(C<sub>1-4</sub> alkyl)<sub>2</sub>, -N(H)C(=O)-O-C<sub>1-4</sub> alkyl, -N(C<sub>1-4</sub>

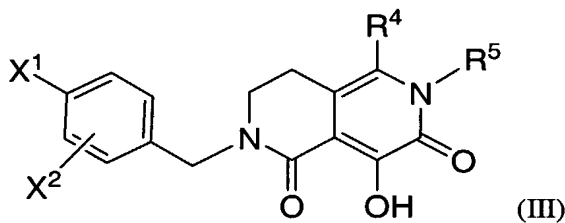
alkyl)C(=O)-O-C<sub>1-4</sub> alkyl, -N(H)SO<sub>2</sub>-C<sub>1-4</sub> alkyl, -N(C<sub>1-4</sub> alkyl)SO<sub>2</sub>-C<sub>1-4</sub> alkyl,



wherein ring A is pyrrolidinyl, piperidinyl, morpholinyl, thiomorpholinyl, or piperazinyl optionally substituted on the other ring nitrogen with methyl or SO<sub>2</sub>-CH<sub>3</sub>,

- (8) a 5- or 6-membered heteroaromatic ring which is pyrrolyl, thienyl, furanyl, imidazolyl, oxazolyl, thiazolyl, isoxazolyl, isothiazolyl, pyrazolyl, triazolyl, tetrazolyl, pyridinyl, pyrimidinyl, or pyrazinyl, wherein the heteroaromatic ring is optionally substituted with from 1 to 2 substituents each of which is independently halogen or -C<sub>1-4</sub> alkyl,
- (9) C<sub>1-4</sub> alkyl substituted with -O-C<sub>1-4</sub> alkyl, -CN, -NH<sub>2</sub>, -N(H)-C<sub>1-4</sub> alkyl, -N(C<sub>1-4</sub> alkyl)<sub>2</sub>, -C(O)NH<sub>2</sub>, -C(O)N(H)-C<sub>1-4</sub> alkyl, -C(O)N(C<sub>1-4</sub> alkyl)<sub>2</sub>, -C(=O)-C<sub>1-4</sub> alkyl, -C(=O)-O-C<sub>1-4</sub> alkyl, -SO<sub>2</sub>-C<sub>1-4</sub> alkyl, -SO<sub>2</sub>NH<sub>2</sub>, -SO<sub>2</sub>N(H)-C<sub>1-4</sub> alkyl, or -SO<sub>2</sub>N(C<sub>1-4</sub> alkyl)<sub>2</sub>, or
- (10) -C<sub>1-4</sub> fluoroalkyl.

3. The compound according to claim 1, or a pharmaceutically acceptable salt thereof, which is a compound of Formula III:



wherein:

X<sup>1</sup> is:

- (1) -H,  
 (2) bromo,  
 (3) chloro,  
 (4) fluoro, or  
 (5) methoxy;

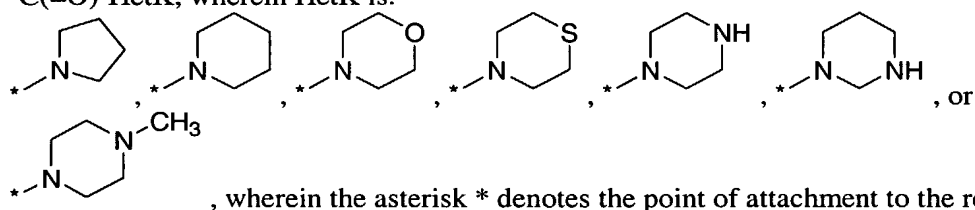
X<sup>2</sup> is:

- (1) -H,

- (2) bromo,  
 (3) chloro,  
 (4) fluoro,  
 (5) methoxy,  
 5 (6) -C<sub>1-4</sub> alkyl,  
 (7) -CF<sub>3</sub>,  
 (8) -OCF<sub>3</sub>,  
 (9) -CN, or  
 (10) -SO<sub>2</sub>(C<sub>1-4</sub> alkyl);

10 R<sup>4</sup> is:

- (1) -CO<sub>2</sub>H,  
 (2) -C(=O)-O-C<sub>1-4</sub> alkyl,  
 (3) -C(=O)NH<sub>2</sub>,  
 15 (4) -C(=O)NH-C<sub>1-4</sub> alkyl,  
 (5) -C(=O)N(C<sub>1-4</sub> alkyl)<sub>2</sub>,  
 (6) -C(=O)-NH-(CH<sub>2</sub>)<sub>2-3</sub>-O-C<sub>1-4</sub> alkyl,  
 (7) -C(=O)-N(C<sub>1-4</sub> alkyl)-(CH<sub>2</sub>)<sub>2-3</sub>-O-C<sub>1-4</sub> alkyl,  
 (8) -NHC(=O)-C<sub>1-4</sub> alkyl,  
 20 (9) -N(C<sub>1-4</sub> alkyl)C(=O)-C<sub>1-4</sub> alkyl,  
 (10) -NHSO<sub>2</sub>-C<sub>1-4</sub> alkyl,  
 (11) -N(C<sub>1-4</sub> alkyl)SO<sub>2</sub>-C<sub>1-4</sub> alkyl,  
 (12) -C(=O)-HetK, wherein HetK is:



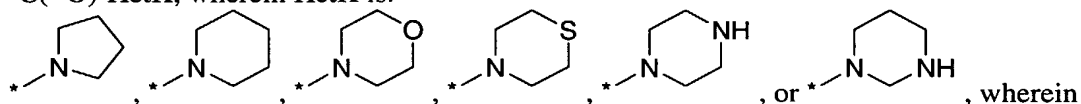
- 25 compound,  
 (13) -C(=O)NH-(CH<sub>2</sub>)<sub>0-1</sub>-(C<sub>3-6</sub> cycloalkyl),  
 (14) -C(=O)N(C<sub>1-4</sub> alkyl)-(CH<sub>2</sub>)<sub>0-1</sub>-(C<sub>3-6</sub> cycloalkyl),  
 (15) -C(=O)NH-CH<sub>2</sub>-phenyl, or  
 30 (16) -C(=O)N(C<sub>1-4</sub> alkyl)-CH<sub>2</sub>-phenyl; and

R<sup>5</sup> is:

- (1) -H,
- (2) -C<sub>1-4</sub> alkyl,
- (3) cyclopropyl,
- (4) cyclobutyl,
- (5) -CH<sub>2</sub>-cyclopropyl,
- (6) -CH<sub>2</sub>-cyclobutyl, or
- (7) -CH<sub>2</sub>-phenyl.

4. The compound according to claim 3, or a pharmaceutically acceptable salt thereof, wherein R<sup>4</sup> is:

- (1) -CO<sub>2</sub>H,
- (2) -C(=O)-O-C<sub>1-4</sub> alkyl,
- (3) -C(=O)NH<sub>2</sub>,
- (4) -C(=O)NH-C<sub>1-4</sub> alkyl,
- (5) -C(=O)N(C<sub>1-4</sub> alkyl)<sub>2</sub>,
- (6) -C(=O)-NH-(CH<sub>2</sub>)<sub>2-3</sub>-O-C<sub>1-4</sub> alkyl,
- (7) -C(=O)-N(C<sub>1-4</sub> alkyl)-(CH<sub>2</sub>)<sub>2-3</sub>-O-C<sub>1-4</sub> alkyl,
- (8) -NHC(=O)-C<sub>1-4</sub> alkyl,
- (9) -N(C<sub>1-4</sub> alkyl)C(=O)-C<sub>1-4</sub> alkyl,
- (10) -NHSO<sub>2</sub>-C<sub>1-4</sub> alkyl,
- (11) -N(C<sub>1-4</sub> alkyl)SO<sub>2</sub>-C<sub>1-4</sub> alkyl,
- (12) -C(=O)-HetK, wherein HetK is:

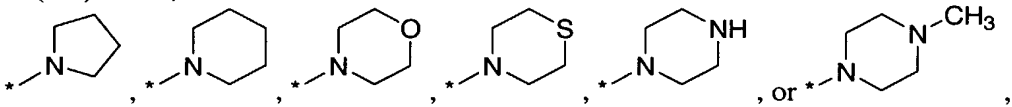


the asterisk \* denotes the point of attachment to the rest of the compound,

- (13) -C(=O)NH-(CH<sub>2</sub>)<sub>0-1</sub>-(C<sub>3-6</sub> cycloalkyl),
- (14) -C(=O)N(C<sub>1-4</sub> alkyl)-(CH<sub>2</sub>)<sub>0-1</sub>-(C<sub>3-6</sub> cycloalkyl),
- (15) -C(=O)NH-CH<sub>2</sub>-phenyl, or
- (16) -C(=O)N(C<sub>1-4</sub> alkyl)-CH<sub>2</sub>-phenyl.

5. The compound according to claim 3, or a pharmaceutically acceptable salt thereof, wherein R<sup>4</sup> is:

- (1) -CO<sub>2</sub>H,
- (2) -C(=O)-O-C<sub>1-4</sub> alkyl,

- (3)  $-\text{C}(=\text{O})\text{NH}_2$ ,  
 (4)  $-\text{C}(=\text{O})\text{NH}-\text{C}_{1-4} \text{ alkyl}$ ,  
 (5)  $-\text{C}(=\text{O})\text{N}(\text{C}_{1-4} \text{ alkyl})_2$ ,  
 (6)  $-\text{C}(=\text{O})-\text{NH}-(\text{CH}_2)_{2-3}-\text{O}-\text{C}_{1-4} \text{ alkyl}$ ,  
 5 (7)  $-\text{C}(=\text{O})-\text{N}(\text{C}_{1-4} \text{ alkyl})-(\text{CH}_2)_{2-3}-\text{O}-\text{C}_{1-4} \text{ alkyl}$ ,  
 (8)  $-\text{NHC}(=\text{O})-\text{C}_{1-4} \text{ alkyl}$ ,  
 (9)  $-\text{N}(\text{C}_{1-4} \text{ alkyl})\text{C}(=\text{O})-\text{C}_{1-4} \text{ alkyl}$ ,  
 (10)  $-\text{NHSO}_2-\text{C}_{1-4} \text{ alkyl}$ ,  
 (11)  $-\text{N}(\text{C}_{1-4} \text{ alkyl})\text{SO}_2-\text{C}_{1-4} \text{ alkyl}$ ,  
 10 (12)  $-\text{C}(=\text{O})-\text{HetK}$ , wherein HetK is:  


wherein the asterisk \* denotes the point of attachment to the rest of the compound,  
 (13)  $-\text{C}(=\text{O})\text{NH}-(\text{CH}_2)_{0-1}-\text{C}_{3-6} \text{ cycloalkyl}$ ,  
 (14)  $-\text{C}(=\text{O})\text{N}(\text{C}_{1-4} \text{ alkyl})-(\text{CH}_2)_{0-1}-\text{C}_{3-6} \text{ cycloalkyl}$ ,  
 15 (15)  $-\text{C}(=\text{O})\text{NH}-\text{CH}_2-\text{phenyl}$ , or  
 (16)  $-\text{C}(=\text{O})\text{N}(\text{C}_{1-4} \text{ alkyl})-\text{CH}_2-\text{phenyl}$ .

6. The compound according to claim 3, or a pharmaceutically acceptable salt thereof, wherein:

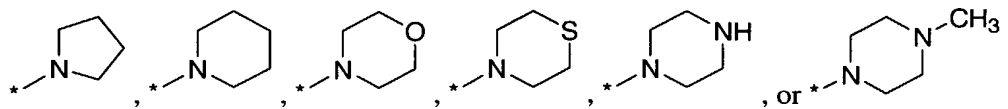
20

$\text{X}^1$  is fluoro;

$\text{X}^2$  is -H or chloro;

25  $\text{R}^4$  is:

- (1)  $-\text{C}(=\text{O})-\text{O}-\text{C}_{1-3} \text{ alkyl}$ ,  
 (2)  $-\text{C}(=\text{O})\text{NH}-\text{C}_{1-3} \text{ alkyl}$ ,  
 (3)  $-\text{C}(=\text{O})\text{N}(\text{C}_{1-3} \text{ alkyl})_2$ ,  
 (4)  $-\text{C}(=\text{O})-\text{N}(\text{C}_{1-3} \text{ alkyl})-(\text{CH}_2)_2-\text{O}-\text{C}_{1-3} \text{ alkyl}$ ,  
 30 (5)  $-\text{N}(\text{C}_{1-3} \text{ alkyl})\text{C}(=\text{O})-\text{C}_{1-3} \text{ alkyl}$ ,  
 (6)  $-\text{N}(\text{C}_{1-3} \text{ alkyl})\text{SO}_2-\text{C}_{1-3} \text{ alkyl}$ ,  
 (7)  $-\text{C}(=\text{O})-\text{HetK}$ , wherein HetK is:



wherein the asterisk \* denotes the point of attachment to the rest of the compound,

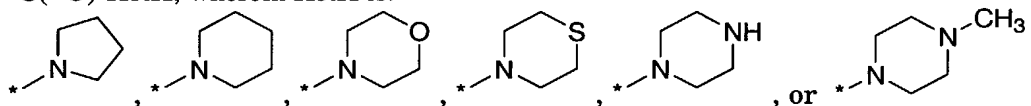
- (8)  $-\text{C}(=\text{O})\text{NH}-(\text{CH}_2)_{0-1}-(\text{cyclopropyl})$ ,  
 (9)  $-\text{C}(=\text{O})\text{NH}-(\text{CH}_2)_{0-1}-(\text{cyclobutyl})$ ,  
 5 (10)  $-\text{C}(=\text{O})\text{N}(\text{C}_{1-3} \text{ alkyl})-(\text{CH}_2)_{0-1}-\text{cyclopropyl}$ ,  
 (11)  $-\text{C}(=\text{O})\text{N}(\text{C}_{1-3} \text{ alkyl})-(\text{CH}_2)_{0-1}-\text{cyclobutyl}$ ,  
 (12)  $-\text{C}(=\text{O})\text{NH}-\text{CH}_2-\text{phenyl}$ , or  
 (13)  $-\text{C}(=\text{O})\text{N}(\text{C}_{1-3} \text{ alkyl})-\text{CH}_2-\text{phenyl}$ ; and

10  $\text{R}^5$  is  $-\text{H}$  or  $-\text{C}_{1-4}$  alkyl.

7. The compound according to claim 6, or a pharmaceutically acceptable salt thereof, wherein:

15  $\text{R}^4$  is:

- (1)  $-\text{C}(=\text{O})\text{N}(\text{C}_{1-3} \text{ alkyl})_2$ ,  
 (2)  $-\text{C}(=\text{O})-\text{HetK}$ , wherein HetK is:



wherein the asterisk \* denotes the point of attachment to the rest of the compound,

- 20 (3)  $-\text{C}(=\text{O})\text{N}(\text{C}_{1-3} \text{ alkyl})-(\text{CH}_2)_{0-1}-\text{cyclopropyl}$ , or  
 (4)  $-\text{C}(=\text{O})\text{N}(\text{C}_{1-3} \text{ alkyl})-(\text{CH}_2)_{0-1}-\text{cyclobutyl}$ ; and

$\text{R}^5$  is  $-\text{C}_{1-4}$  alkyl.

25 8. A compound, or a pharmaceutically acceptable salt thereof, selected from the group consisting of:

methyl 6-(4-fluorobenzyl)-4-hydroxy-3, 5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxylate;

30 6-(4-fluorobenzyl)-4-hydroxy-*N,N*-dimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

*N*-cyclobutyl-6-(4-fluorobenzyl)-4-hydroxy-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

5    *N*-cyclopropyl-6-(4-fluorobenzyl)-4-hydroxy-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(4-fluorobenzyl)-4-hydroxy-*N*-isopropyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

10

6-(4-fluorobenzyl)-4-hydroxy-*N*-methyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(4-fluorobenzyl)-4-hydroxy-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxylic acid;

15

*N*-[6-(4-fluorobenzyl)-3,4-dihydroxy-5-oxo-5,6,7,8-tetrahydro-2,6-naphthyridin-1-yl]-*N*-methanesulfonamide;

*N*-[6-(4-fluorobenzyl)-4-hydroxy-2-methyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridin-1-yl]-*N*-methylacetamide;

20

6-(4-fluorobenzyl)-4-hydroxy-*N,N*,2-trimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

25    6-(3-chloro-4-fluorobenzyl)-4-hydroxy-*N,N*,2-trimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(3-chloro-4-fluorobenzyl)-4-hydroxy-2-isopropyl-*N,N*-dimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

30

6-(3-chloro-4-fluorobenzyl)-4-hydroxy-2-isobutyl-*N,N*-dimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(4-fluorobenzyl)-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-2,3,5,6-tetrahydro-2,6-naphthyridine-1-carboxamide;

5 N-cyclobutyl-6-(4-fluorobenzyl)-4-hydroxy-2-methyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

N-cyclopropyl-6-(4-fluorobenzyl)-4-hydroxy-2-methyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

10 6-(4-fluorobenzyl)-4-hydroxy-N-isopropyl-2-methyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(4-fluorobenzyl)-4-hydroxy-2-methyl-3,5-dioxo-N-(2,2,2-trifluoroethyl)-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

15 6-(4-fluorobenzyl)-4-hydroxy-2-methyl-N-[2-(methylsulfonyl)ethyl]-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

20 N,6-bis(4-fluorobenzyl)-4-hydroxy-2-methyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

2-(4-fluorobenzyl)-8-hydroxy-6-methyl-5-(piperidin-1-ylcarbonyl)-2,3,4,6-tetrahydro-2,6-naphthyridine-1,7-dione;

25 6-(4-fluorobenzyl)-4-hydroxy-2-methyl-N-neopentyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

2-(4-fluorobenzyl)-8-hydroxy-5-(thiomorpholin-4-ylcarbonyl)-2,3,4,6-tetrahydro-2,6-naphthyridine-1,7-dione;

30 2-(4-fluorobenzyl)-8-hydroxy-5-(piperazin-1-ylcarbonyl)-2,3,4,6-tetrahydro-2,6-naphthyridine-1,7-dione;

4-{[6-(4-fluorobenzyl)-4-hydroxy-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridin-1-yl]carbonyl}-N,N-dimethylpiperazine-1-sulfonamide;

2-(4-{{6-(4-fluorobenzyl)-4-hydroxy-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridin-1-yl}carbonyl}piperazin-1-yl)-N,N-dimethyl-2-oxoacetamide;

5 6-(3-chloro-4-fluorobenzyl)-4-hydroxy-2-isobutyl-N-methyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(3-chloro-4-fluorobenzyl)-N,N-diethyl-4-hydroxy-2-methyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

10

2-(3-chloro-4-fluorobenzyl)-8-hydroxy-6-methyl-5-[(4-methylpiperazin-4-yl)carbonyl]-2,3,4,6-tetrahydro-2,6-naphthyridine-1,7-dione;

2-(3-chloro-4-fluorobenzyl)-8-hydroxy-6-methyl-5-(thiomorpholin-4-ylcarbonyl)-2,3,4,6-tetrahydro-2,6-naphthyridine-1,7-dione;

15

2-(3-chloro-4-fluorobenzyl)-8-hydroxy-6-methyl-5-(piperidin-1-ylcarbonyl)-2,3,4,6-tetrahydro-2,6-naphthyridine-1,7-dione;

20 6-(3-chloro-4-fluorobenzyl)-N-(cyclopropylmethyl)-4-hydroxy-2-methyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(3-chloro-4-fluorobenzyl)-N-cyclopropyl-4-hydroxy-2-methyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

25

6-(3-chloro-4-fluorobenzyl)-N-ethyl-4-hydroxy-N,2-dimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N-isopropyl-N,2-dimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

30

2-(3-chloro-4-fluorobenzyl)-5-[(4,4-difluoropiperidin-1-yl)carbonyl]-8-hydroxy-6-methyl-2,3,4,6-tetrahydro-2,6-naphthyridine-1,7-dione;

2-(3-chloro-4-fluorobenzyl)-8-hydroxy-6-methyl-5-(morpholin-4-ylcarbonyl)-2,3,4,6-tetrahydro-2,6-naphthyridine-1,7-dione;

5 6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N,2-dimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

2-(3-chloro-4-fluorobenzyl)-8-hydroxy-6-methyl-5-[(4-cyclopropylpiperazin-4-yl)carbonyl]-2,3,4,6-tetrahydro-2,6-naphthyridine-1,7-dione;

10 N,N-diethyl-6-(4-fluorobenzyl)-4-hydroxy-2-methyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

N-[2-(dimethylamino)ethyl]-6-(4-fluorobenzyl)-4-hydroxy-N,2-dimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

15 6-(4-fluorobenzyl)-4-hydroxy-N,2-dimethyl-N-(1-methylpiperidin-4-yl)-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

20 N,6-bis(4-fluorobenzyl)-4-hydroxy-N,2-dimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

N,N-diethyl-6-(4-fluorobenzyl)-3,4-dihydroxy-5-oxo-5,6,7,8-tetrahydro-2,6-naphthyridine-1-carboxamide;

25 6-(4-fluorobenzyl)-3,4-dihydroxy-N-isobutyl-N-methyl-5-oxo-5,6,7,8-tetrahydro-2,6-naphthyridine-1-carboxamide;

N-ethyl-6-(4-fluorobenzyl)-3,4-dihydroxy-N-methyl-5-oxo-5,6,7,8-tetrahydro-2,6-naphthyridine-1-carboxamide;

30 6-(4-fluorobenzyl)-3,4-dihydroxy-N-methyl-5-oxo-N-propyl-5,6,7,8-tetrahydro-2,6-naphthyridine-1-carboxamide;

6-(4-fluorobenzyl)-3,4-dihydroxy-N-isopropyl-N-methyl-5-oxo-5,6,7,8-tetrahydro-2,6-naphthyridine-1-carboxamide;

2-(4-fluorobenzyl)-7,8-dihydroxy-5-(pyrrolidin-1-ylcarbonyl)-3,4-dihydro-2,6-naphthyridin-1(2H)-one;

5

2-(4-fluorobenzyl)-7,8-dihydroxy-5-(morpholin-4-ylcarbonyl)-3,4-dihydro-2,6-naphthyridin-1(2H)-one;

4-hydroxy-N,N,2-trimethyl-3,5-dioxo-6-[3-(trifluoromethyl)benzyl]-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

10

4-hydroxy-N,N,2-trimethyl-3,5-dioxo-6-[4-fluoro-3-(trifluoromethyl)benzyl]-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(1,3-benzodioxol-4-ylmethyl)-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

15

6-(1,3-benzodioxol-5-ylmethyl)-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

4-hydroxy-6-(2-methoxybenzyl)-N,N,2-trimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

20

4-hydroxy-6-(3-methoxybenzyl)-N,N,2-trimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

25

4-hydroxy-6-(3-methylbenzyl)-N,N,2-trimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(3,4-dimethylbenzyl)-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

30

6-(2,3-dichlorobenzyl)-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(2,4-difluorobenzyl)-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

5 4-hydroxy-N,N,2-trimethyl-3,5-dioxo-6-[3-(trifluoromethoxy)benzyl]-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(3-fluorobenzyl)-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

10 6-(4-fluoro-3-methylbenzyl)-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(2-bromo-3-chloro-4-fluorobenzyl)-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

15 4-hydroxy-6-(2-methylbenzyl)-N,N,2-trimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

20 6-(2-fluorobenzyl)-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

4-hydroxy-6-(4-methylbenzyl)-N,N,2-trimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

25 6-(4-chlorobenzyl)-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

4-hydroxy-6-(4-methoxybenzyl)-N,N,2-trimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

30 6-(3,5-dichlorobenzyl)-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(3,4-dichlorobenzyl)-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

5 6-(3,5-difluorobenzyl)-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(3,5-dimethoxybenzyl)-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

10 6-(3-chloro-4-methylbenzyl)-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

15 6-(3-fluoro-4-methylbenzyl)-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(2,5-difluorobenzyl)-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

20 6-(3-chloro-2-fluorobenzyl)-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(4-chloro-2-fluorobenzyl)-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

25 6-(5-chloro-2-fluorobenzyl)-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(2-fluoro-3-methylbenzyl)-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

30 6-(5-fluoro-2-methylbenzyl)-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(3,5-dimethylbenzyl)-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

5 4-hydroxy-6-(4-hydroxybenzyl)-N,N,2-trimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(2,3-dihydro-1,4-benzodioxin-6-ylmethyl)-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

10 6-(4-fluoro-3-methoxybenzyl)-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(3-chloro-4-methoxybenzyl)-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

15 6-(4-chloro-3-methylbenzyl)-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

20 6-(3,4-difluorobenzyl)-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(2-chloro-4-fluorobenzyl)-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

25 6-(3-chlorobenzyl)-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

8-hydroxy-2-(4-methoxybenzyl)-6-methyl-5-(pyrrolidin-1-ylcarbonyl)-2,3,4,6-tetrahydro-2,6-naphthyridine-1,7-dione;

30 4-hydroxy-6-(4-methoxybenzyl)-N,2-dimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

methyl 6-(1,3-benzodioxol-5-ylmethyl)-4-hydroxy-2-methyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxylate;

5 6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-(4-methylphenyl)-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-phenyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

10 6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-(3-thienyl)-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-pyridin-3-yl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

15 6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-{4-[methoxycarbonyl]-phenyl}-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

20 6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-[4-(amino)carbonyl-phenyl]-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-{4-[(methylamino)carbonyl]-phenyl}-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

25 6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-{4-[(ethylamino)carbonyl]-phenyl}-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-{4-[(isopropylamino)carbonyl]-phenyl}-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

30 6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-{4-[(dimethylamino)carbonyl]-phenyl}-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-{4-[(diethylamino)carbonyl]-phenyl}-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

5 6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-{3-[(dimethylamino)carbonyl]-phenyl}-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-(4-nitrophenyl)-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

10 6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-[4-(acetylamino)phenyl]-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-[4-(acetylmethylamino)phenyl]-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

15 6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-[4-methyl(trifluoroacetyl)-aminophenyl]-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

20 6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-{4-[(methylaminocarbonyl)-methylamino]phenyl}-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-{4-[(dimethylaminocarbonyl)-methylamino]phenyl}-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

25 6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-{4-[(methoxycarbonyl)-amino]phenyl}-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-{4-[(methoxycarbonyl)methyl-amino]phenyl}-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

30 6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-{4-[(methylsulfonyl)-amino]phenyl}-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(3-Chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-{4-[methyl(methyl-sulfonyl)amino]phenyl}-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

5 6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-[4-(aminosulfonyl)phenyl]-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-[4-(methyaminosulfonyl)-phenyl]-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

10 6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-[4-(morpholin-4-ylsulfonyl)-phenyl]-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-[4-(methylsulfonyl)-phenyl]-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

15 6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-(3-cyanophenyl)-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

20 6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-(4-cyanophenyl)-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-(4-acetylphenyl)-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

25 6-(3-Chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-(cyanomethyl)-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-(1-cyanoethyl)-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

30 6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-(2-amino-2-oxoethyl)-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-(2-amino-1-methyl-2-oxoethyl)-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

5 6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-(cyclopropylmethyl)-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-(cyclobutylmethyl)-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

10 6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-(cyclohexylmethyl)-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-(2-methoxyethyl)-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

15 6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-(2,2,2-trifluoroethyl)-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

20 6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-benzyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-(4-fluorobenzyl)-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

25 6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-(3-chloro-4-fluorobenzyl)-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-(2-pyrrolidin-1-ylethyl)-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

30 6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-(2-morpholin-4-ylethyl)-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(3-chloro-4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-(2-aminoethyl)-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

5 6-(4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-isopropyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(4-fluorobenzyl)-4-hydroxy-N,N-dimethyl-2-isobutyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

10 6-(5-chloro-4-fluoro-2-iodobenzyl)-4-hydroxy-N,N-dimethyl-2-isopropyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

6-(5-chloro-4-Fluoro-2-iodobenzyl)-4-hydroxy-N,N-dimethyl-2-isobutyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxamide;

15 N-[6-(3-chloro-4-fluorobenzyl)-4-hydroxy-2-methyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridin-1-yl]-N-methylmethanesulfonamide;

20 N-[6-(3-chloro-4-fluorobenzyl)-4-hydroxy-2-methyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridin-1-yl]-N-methylethanesulfonamide;

N-[6-(3-chloro-4-fluorobenzyl)-4-hydroxy-2-isobutyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridin-1-yl]-N-methylmethanesulfonamide;

25 N-[6-(3-chloro-4-fluorobenzyl)-4-hydroxy-2-isobutyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridin-1-yl]-N-ethylmethanesulfonamide;

30 6-(3-chloro-4-fluorobenzyl)-4-hydroxy-2-methyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridin-1-ynitrile;

2-(3-chloro-4-fluorobenzyl)-8-hydroxy-6-methyl-5-(5-methyl-1,3,4-oxadiazol-2-yl)-2,3,4,6-tetrahydro-2,6-naphthyridine-1,7-dione;

5-bromo-2-(3-chloro-4-fluorobenzyl)-8-hydroxy-2,3,4,6-tetrahydro-2,6-naphthyridine-1,7-dione;

5-bromo-2-(3-chloro-4-fluorobenzyl)-8-hydroxy-6-methyl-2,3,4,6-tetrahydro-2,6-naphthyridine-1,7-dione;

5 2-(3-chloro-4-fluorobenzyl)-8-hydroxy-2,3,4,6-tetrahydro-2,6-naphthyridine-1,7-dione;

2-(3-chloro-4-fluorobenzyl)-8-hydroxy-6-methyl-2,3,4,6-tetrahydro-2,6-naphthyridine-1,7-dione;

2-(3-chloro-4-fluorobenzyl)-5-ethyl-8-hydroxy-6-methyl-2,3,4,6-tetrahydro-2,6-naphthyridine-1,7-dione

10

2-(3-chloro-4-fluorobenzyl)-5-cyclopropyl-8-hydroxy-6-methyl-2,3,4,6-tetrahydro-2,6-naphthyridine-1,7-dione;

2-(3-chloro-4-fluorobenzyl)-8-hydroxy-6-methyl-5-pyridin-3-yl-2,3,4,6-tetrahydro-2,6-naphthyridine-1,7-dione;

15

2-(3-chloro-4-fluorobenzyl)-8-hydroxy-6-methyl-5-pyridin-4-yl-2,3,4,6-tetrahydro-2,6-naphthyridine-1,7-dione; and

20 2-(3-chloro-4-fluorobenzyl)-8-hydroxy-6-methyl-5-(2-furyl)-2,3,4,6-tetrahydro-2,6-naphthyridine-1,7-dione.

9. A pharmaceutical composition comprising an effective amount of a compound according to any one of claims 1 to 8, or a pharmaceutically acceptable salt thereof, and a pharmaceutically acceptable carrier.

25

10. A method of inhibiting HIV integrase in a subject in need thereof which comprises administering to the subject an effective amount of the compound according to any one of claims 1 to 8, or a pharmaceutically acceptable salt thereof.

30

11. A method for preventing or treating infection by HIV or for preventing, treating or delaying the onset of AIDS in a subject in need thereof which comprises administering to the subject an effective amount of the compound according to any one of claims 1 to 8, or a pharmaceutically acceptable salt thereof.

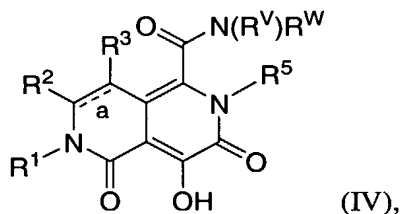
12. Use of a compound according to any one of claims 1 to 8, or a pharmaceutically acceptable salt thereof, for inhibiting HIV integrase in a subject in need thereof.

5 13. Use of a compound according to any one of claims 1 to 8, or a pharmaceutically acceptable salt thereof, for preventing or treating infection by HIV or for preventing, treating or delaying the onset of AIDS in a subject in need thereof.

10 14. A compound according to any one of claims 1 to 8, or a pharmaceutically acceptable salt thereof, for use in the preparation of a medicament for inhibiting HIV integrase in a subject in need thereof.

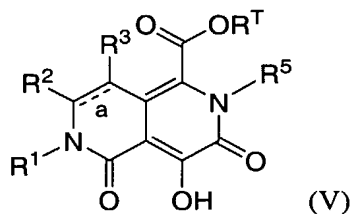
15 15. A compound according to any one of claims 1 to 8, or a pharmaceutically acceptable salt thereof, for use in the preparation of a medicament for preventing or treating infection by HIV or for preventing, treating or delaying the onset of AIDS in a subject in need thereof.

16. A process for preparing a compound of Formula IV:

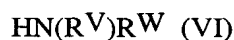


which comprises:

20 (B) contacting a compound of Formula V:



with a Grignard salt of an amine of Formula VI:



to obtain Compound IV; wherein:

bond " $\overset{a}{=}$ " in the ring is a single bond or a double bond;

5 R<sup>1</sup> is -C<sub>1-6</sub> alkyl substituted with R<sup>J</sup>, wherein R<sup>J</sup> is:

(A) aryl or aryl fused to a 5- or 6-membered heteroaromatic ring containing from 1 to 4 heteroatoms independently selected from N, O and S, wherein the aryl or fused aryl is:

(a) optionally substituted with from 1 to 5 substituents each of which is independently:

- 10 (1) -C<sub>1-6</sub> alkyl,
- (2) -C<sub>1-6</sub> alkyl substituted with -O-C<sub>1-6</sub> alkyl, -O-C<sub>1-6</sub> haloalkyl, -NO<sub>2</sub>, -N(R<sup>a</sup>)R<sup>b</sup>, or -S(O)<sub>n</sub>R<sup>a</sup>,
- (3) -C<sub>1-6</sub> haloalkyl,
- (4) -O-C<sub>1-6</sub> alkyl,
- 15 (5) halogen,
- (6) C(=O)N(R<sup>a</sup>)R<sup>b</sup>, or
- (7) -SO<sub>2</sub>R<sup>a</sup>, and

(b) optionally substituted with 1 or 2 substituents each of which is independently:

- 20 (1) phenyl,
- (2) benzyl, or
- (3) -HetB;

wherein each HetB is a 5- or 6-membered heteroaromatic ring containing from 1 to 4 heteroatoms independently selected from N, O and S, wherein the heteroaromatic ring is optionally substituted with from 1 to 4 substituents each of which is independently halogen, -C<sub>1-6</sub> alkyl, -C<sub>1-6</sub> haloalkyl, -O-C<sub>1-6</sub> alkyl, or -O-C<sub>1-6</sub> haloalkyl; or

(B) a 5- or 6-membered heteroaromatic ring containing from 1 to 4 heteroatoms independently selected from N, O and S; wherein the heteroaromatic ring is

- 30 (i) optionally substituted with from 1 to 4 substituents each of which is independently halogen, -C<sub>1-6</sub> alkyl, -C<sub>1-6</sub> haloalkyl, -O-C<sub>1-6</sub> alkyl, or -O-C<sub>1-6</sub> haloalkyl, and
- (ii) optionally substituted with 1 or 2 substituents each of which is independently aryl or -C<sub>1-6</sub> alkyl substituted with aryl;

R<sup>2</sup> and R<sup>3</sup> are each independently -H or -C<sub>1-6</sub> alkyl;

R<sup>5</sup> is:

- (1) -C<sub>1-6</sub> alkyl,
- 5 (2) -C<sub>3-8</sub> cycloalkyl optionally substituted with from 1 to 4 substituents each of which is independently -C<sub>1-6</sub> alkyl or -O-C<sub>1-6</sub> alkyl,
- (3) -C<sub>1-6</sub> alkyl substituted with C<sub>3-8</sub> cycloalkyl, wherein the cycloalkyl is optionally substituted with from 1 to 4 substituents each of which is independently -C<sub>1-6</sub> alkyl or -O-C<sub>1-6</sub> alkyl,
- 10 (4) -C<sub>1-6</sub> alkyl substituted with aryl, wherein the aryl is optionally substituted with from 1 to 5 substituents each of which is independently -C<sub>1-6</sub> alkyl, -C<sub>1-6</sub> alkylene-O-C<sub>1-6</sub> alkyl, or halogen, or
- (5) -C<sub>1-6</sub> alkyl substituted with a 5- or 6-membered heteroaromatic ring containing from 1 to 4 heteroatoms independently selected from N, O and S, wherein the heteroaromatic ring is optionally substituted with from 1 to 4 substituents each of which is independently -C<sub>1-6</sub> alkyl;
- 15

R<sup>T</sup> is -C<sub>1-6</sub> alkyl;

- 20 R<sup>V</sup> and R<sup>W</sup> are each independently -C<sub>1-6</sub> alkyl or R<sup>V</sup> and R<sup>W</sup> together with the N atom to which they are both attached form a 4- to 6-membered saturated heterocyclic ring optionally containing a heteroatom in addition to the nitrogen attached to R<sup>V</sup> and R<sup>W</sup> selected from N, O, and S, where the S is optionally oxidized to S(O) or S(O)<sub>2</sub>, and wherein the saturated heterocyclic ring is optionally substituted with 1 or 2 substituents each of which is independently a C<sub>1-6</sub> alkyl group;

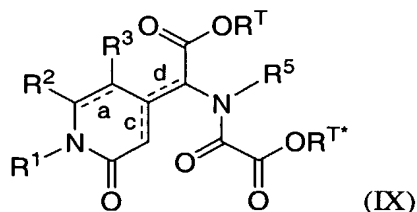
25

each aryl is independently phenyl, naphthyl, or indenyl;

each R<sup>a</sup> is independently H or C<sub>1-6</sub> alkyl; and

- 30 each R<sup>b</sup> is independently H or C<sub>1-6</sub> alkyl.

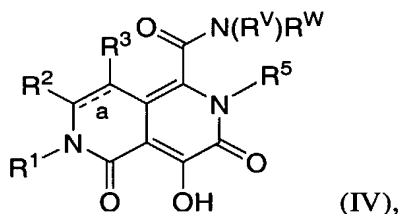
17. The process according to claim 16, wherein the process further comprises:
  - (A) treating a compound of Formula IX:



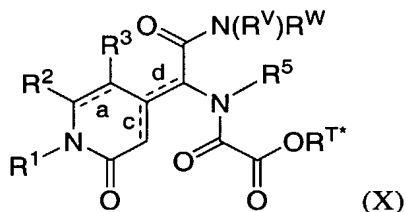
with (i) a tertiary amine base in the presence of a lithium salt or (ii) an alkoxide base, to obtain a compound of Formula V; wherein one of bonds "a" and "d" is a single bond and the other is a double bond; and RT\* is C<sub>1-6</sub> alkyl.

5

18. A process for preparing a compound of Formula IV:



which comprises treating a compound of Formula X:



10 with (i) a tertiary amine base in the presence of a lithium salt or (ii) an alkoxide base, to obtain a compound of Formula IV, wherein:

bond "a" in the ring is a single bond or a double bond;

15 R<sup>1</sup> is -C<sub>1-6</sub> alkyl substituted with R<sup>J</sup>, wherein R<sup>J</sup> is:

(A) aryl or aryl fused to a 5- or 6-membered heteroaromatic ring containing from 1 to 4 heteroatoms independently selected from N, O and S, wherein the aryl or fused aryl is:

(a) optionally substituted with from 1 to 5 substituents each of which is independently:

(1) -C<sub>1-6</sub> alkyl,

20

- 5
- (2) -C<sub>1-6</sub> alkyl substituted with -O-C<sub>1-6</sub> alkyl, -O-C<sub>1-6</sub> haloalkyl, -NO<sub>2</sub>,  
-N(R<sup>a</sup>)R<sup>b</sup>, or -S(O)<sub>n</sub>R<sup>a</sup>,
  - (3) -C<sub>1-6</sub> haloalkyl,
  - (4) -O-C<sub>1-6</sub> alkyl,
  - (5) halogen,
  - (6) C(=O)N(R<sup>a</sup>)R<sup>b</sup>, or
  - (7) -SO<sub>2</sub>R<sup>a</sup>, and
- (b) optionally substituted with 1 or 2 substituents each of which is independently:
- 10
- (1) phenyl,
  - (2) benzyl, or
  - (3) -HetB;
- 15
- wherein each HetB is a 5- or 6-membered heteroaromatic ring containing from 1 to 4 heteroatoms independently selected from N, O and S, wherein the heteroaromatic ring is optionally substituted with from 1 to 4 substituents each of which is independently halogen, -C<sub>1-6</sub> alkyl, -C<sub>1-6</sub> haloalkyl, -O-C<sub>1-6</sub> alkyl, or -O-C<sub>1-6</sub> haloalkyl; or
- (B) a 5- or 6-membered heteroaromatic ring containing from 1 to 4 heteroatoms independently selected from N, O and S; wherein the heteroaromatic ring is
- 20
- (i) optionally substituted with from 1 to 4 substituents each of which is independently halogen, -C<sub>1-6</sub> alkyl, -C<sub>1-6</sub> haloalkyl, -O-C<sub>1-6</sub> alkyl, or -O-C<sub>1-6</sub> haloalkyl, and
  - (ii) optionally substituted with 1 or 2 substituents each of which is independently aryl or -C<sub>1-6</sub> alkyl substituted with aryl;
- 25 R<sup>2</sup> and R<sup>3</sup> are each independently -H or -C<sub>1-6</sub> alkyl;

R<sup>5</sup> is:

- 30
- (1) -C<sub>1-6</sub> alkyl,
  - (2) -C<sub>3-8</sub> cycloalkyl optionally substituted with from 1 to 4 substituents each of which is independently -C<sub>1-6</sub> alkyl or -O-C<sub>1-6</sub> alkyl,
  - (3) -C<sub>1-6</sub> alkyl substituted with C<sub>3-8</sub> cycloalkyl, wherein the cycloalkyl is optionally substituted with from 1 to 4 substituents each of which is independently -C<sub>1-6</sub> alkyl or -O-C<sub>1-6</sub> alkyl,

- (4) -C<sub>1-6</sub> alkyl substituted with aryl, wherein the aryl is optionally substituted with from 1 to 5 substituents each of which is independently -C<sub>1-6</sub> alkyl, -C<sub>1-6</sub> alkylene-O-C<sub>1-6</sub> alkyl, or halogen, or
- (5) -C<sub>1-6</sub> alkyl substituted with a 5- or 6-membered heteroaromatic ring containing from 1 to 4 heteroatoms independently selected from N, O and S, wherein the heteroaromatic ring is optionally substituted with from 1 to 4 substituents each of which is independently -C<sub>1-6</sub> alkyl;

R<sup>V</sup> and R<sup>W</sup> are each independently -C<sub>1-6</sub> alkyl or R<sup>V</sup> and R<sup>W</sup> together with the N atom to which they are both attached form a 4- to 6-membered saturated heterocyclic ring optionally containing a heteroatom in addition to the nitrogen attached to R<sup>V</sup> and R<sup>W</sup> selected from N, O, and S, where the S is optionally oxidized to S(O) or S(O)<sub>2</sub>, and wherein the saturated heterocyclic ring is optionally substituted with 1 or 2 substituents each of which is independently a C<sub>1-6</sub> alkyl group;

each aryl is independently phenyl, naphthyl, or indenyl;

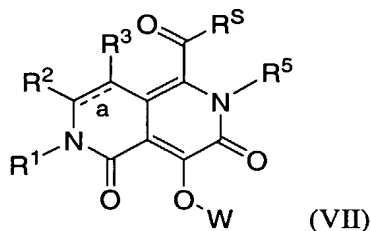
each R<sup>a</sup> is independently H or C<sub>1-6</sub> alkyl;

each R<sup>b</sup> is independently H or C<sub>1-6</sub> alkyl;

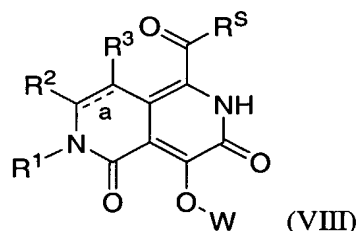
one of bonds "<sup>c</sup>" and "<sup>d</sup>" is a single bond and the other is a double bond; and

R<sup>T\*</sup> is C<sub>1-6</sub> alkyl.

19. A process for preparing a compound of Formula VII:



which comprises reacting an alkylating agent of formula R<sup>5</sup>-Z with a compound of Formula VIII:



in a polar aprotic solvent and in the presence of a base selected from a magnesium base and a calcium base; wherein:

5 bond "  $\overset{a}{=}$  " in the ring is a single bond or a double bond;

W is -H or -C<sub>1-6</sub> alkyl;

10 Z is halogen or -SO<sub>3</sub>-Q wherein Q is (i) C<sub>1-6</sub> alkyl or (ii) phenyl optionally substituted with 1 or 2 substituents each of which is independently a C<sub>1-6</sub> alkyl;

15 R<sup>S</sup> is -O-C<sub>1-6</sub> alkyl or N(R<sup>V</sup>)R<sup>W</sup> wherein R<sup>V</sup> and R<sup>W</sup> are each independently -C<sub>1-6</sub> alkyl or R<sup>V</sup> and R<sup>W</sup> together with the N atom to which they are both attached form a 4- to 6-membered saturated heterocyclic ring optionally containing a heteroatom in addition to the nitrogen attached to R<sup>V</sup> and R<sup>W</sup> selected from N, O, and S, where the S is optionally oxidized to S(O) or S(O)<sub>2</sub>, and wherein the saturated heterocyclic ring is optionally substituted with 1 or 2 substituents each of which is independently a C<sub>1-6</sub> alkyl group;

R<sup>1</sup> is -C<sub>1-6</sub> alkyl substituted with R<sup>J</sup>, wherein R<sup>J</sup> is:

20 (A) aryl or aryl fused to a 5- or 6-membered heteroaromatic ring containing from 1 to 4 heteroatoms independently selected from N, O and S, wherein the aryl or fused aryl is:

(a) optionally substituted with from 1 to 5 substituents each of which is independently:

25 (1) -C<sub>1-6</sub> alkyl optionally substituted with -OH, -O-C<sub>1-6</sub> alkyl, -O-C<sub>1-6</sub> haloalkyl, -CN, -NO<sub>2</sub>, -N(R<sup>a</sup>)R<sup>b</sup>, -C(=O)N(R<sup>a</sup>)R<sup>b</sup>, -C(=O)R<sup>a</sup>, -CO<sub>2</sub>R<sup>a</sup>, -S(O)<sub>n</sub>R<sup>a</sup>, -SO<sub>2</sub>N(R<sup>a</sup>)R<sup>b</sup>, -N(R<sup>a</sup>)C(=O)R<sup>b</sup>, -N(R<sup>a</sup>)CO<sub>2</sub>R<sup>b</sup>, -N(R<sup>a</sup>)SO<sub>2</sub>R<sup>b</sup>, -N(R<sup>a</sup>)SO<sub>2</sub>N(R<sup>a</sup>)R<sup>b</sup>, -OC(=O)N(R<sup>a</sup>)R<sup>b</sup>, or -N(R<sup>a</sup>)C(=O)N(R<sup>a</sup>)R<sup>b</sup>,  
 (2) -O-C<sub>1-6</sub> alkyl,

- 5
- (3) -C<sub>1-6</sub> haloalkyl,  
 (4) -O-C<sub>1-6</sub> haloalkyl,  
 (5) -OH,  
 (6) halogen,  
 (7) -CN,  
 (8) -NO<sub>2</sub>,  
 (9) -N(R<sup>a</sup>)R<sup>b</sup>,  
 (10) -C(=O)N(R<sup>a</sup>)R<sup>b</sup>,  
 (11) -C(=O)R<sup>a</sup>,  
 10 (12) -CO<sub>2</sub>R<sup>a</sup>,  
 (13) -SR<sup>a</sup>,  
 (14) -S(=O)R<sup>a</sup>,  
 (15) -SO<sub>2</sub>R<sup>a</sup>,  
 (16) -SO<sub>2</sub>N(R<sup>a</sup>)R<sup>b</sup>,  
 15 (17) -N(R<sup>a</sup>)SO<sub>2</sub>R<sup>b</sup>,  
 (18) -N(R<sup>a</sup>)SO<sub>2</sub>N(R<sup>a</sup>)R<sup>b</sup>,  
 (19) -N(R<sup>a</sup>)C(=O)R<sup>b</sup>,  
 (20) -N(R<sup>a</sup>)C(=O)-C(=O)N(R<sup>a</sup>)R<sup>b</sup>, or  
 (21) -N(R<sup>a</sup>)CO<sub>2</sub>R<sup>b</sup>, and
- 20 (b) optionally substituted with 1 or 2 substituents each of which is independently:
- (1) phenyl,  
 (2) benzyl,  
 (3) -HetA,  
 (4) -C(=O)-HetA, or  
 25 (5) -HetB;
- wherein each HetA is independently a C<sub>4-7</sub> azacycloalkyl or a C<sub>3-6</sub> diazacycloalkyl, either of which is optionally substituted with from 1 to 4 substituents each of which is independently oxo or C<sub>1-6</sub> alkyl; and
- wherein each HetB is a 5- or 6-membered heteroaromatic ring  
 30 containing from 1 to 4 heteroatoms independently selected from N, O and S, wherein the heteroaromatic ring is optionally substituted with from 1 to 4 substituents each of which is independently halogen, -C<sub>1-6</sub> alkyl, -C<sub>1-6</sub> haloalkyl, -O-C<sub>1-6</sub> alkyl, -O-C<sub>1-6</sub> haloalkyl, or hydroxy; or

- (B) a 5- or 6-membered heteroaromatic ring containing from 1 to 4 heteroatoms independently selected from N, O and S; wherein the heteroaromatic ring is
- (i) optionally substituted with from 1 to 4 substituents each of which is independently halogen, -C<sub>1-6</sub> alkyl, -C<sub>1-6</sub> haloalkyl, -O-C<sub>1-6</sub> alkyl, -O-C<sub>1-6</sub> haloalkyl, or hydroxy, and
  - (ii) optionally substituted with 1 or 2 substituents each of which is independently aryl or -C<sub>1-6</sub> alkyl substituted with aryl;

R<sup>2</sup> and R<sup>3</sup> are each independently -H or -C<sub>1-6</sub> alkyl;

R<sup>5</sup> is:

- (1) -C<sub>1-6</sub> alkyl,
- (2) -C<sub>3-8</sub> cycloalkyl optionally substituted with from 1 to 4 substituents each of which is independently -C<sub>1-6</sub> alkyl or -O-C<sub>1-6</sub> alkyl,
- (3) -C<sub>1-6</sub> alkyl substituted with C<sub>3-8</sub> cycloalkyl, wherein the cycloalkyl is optionally substituted with from 1 to 4 substituents each of which is independently -C<sub>1-6</sub> alkyl or -O-C<sub>1-6</sub> alkyl,
- (4) -C<sub>1-6</sub> alkyl substituted with aryl, wherein the aryl is optionally substituted with from 1 to 5 substituents each of which is independently -C<sub>1-6</sub> alkyl, -C<sub>1-6</sub> alkylene-O-C<sub>1-6</sub> alkyl, or halogen, or
- (5) -C<sub>1-6</sub> alkyl substituted with a 5- or 6-membered heteroaromatic ring containing from 1 to 4 heteroatoms independently selected from N, O and S, wherein the heteroaromatic ring is optionally substituted with from 1 to 4 substituents each of which is independently -C<sub>1-6</sub> alkyl;

each aryl is independently phenyl, naphthyl, or indenyl;

each R<sup>a</sup> is independently H or C<sub>1-6</sub> alkyl;

each R<sup>b</sup> is independently H or C<sub>1-6</sub> alkyl; and

each n is independently an integer equal to zero, 1, or 2.